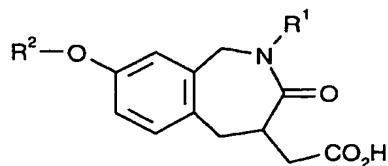


What is claimed is:

1. A compound according to formula (I):

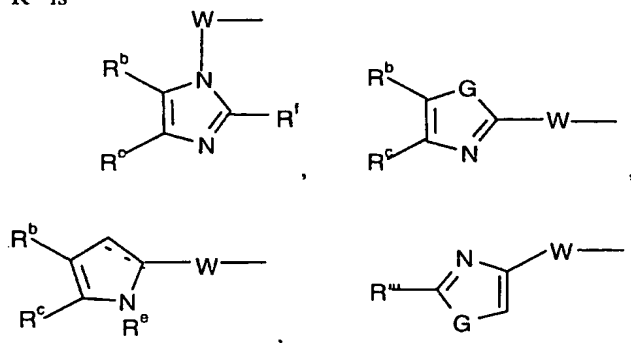


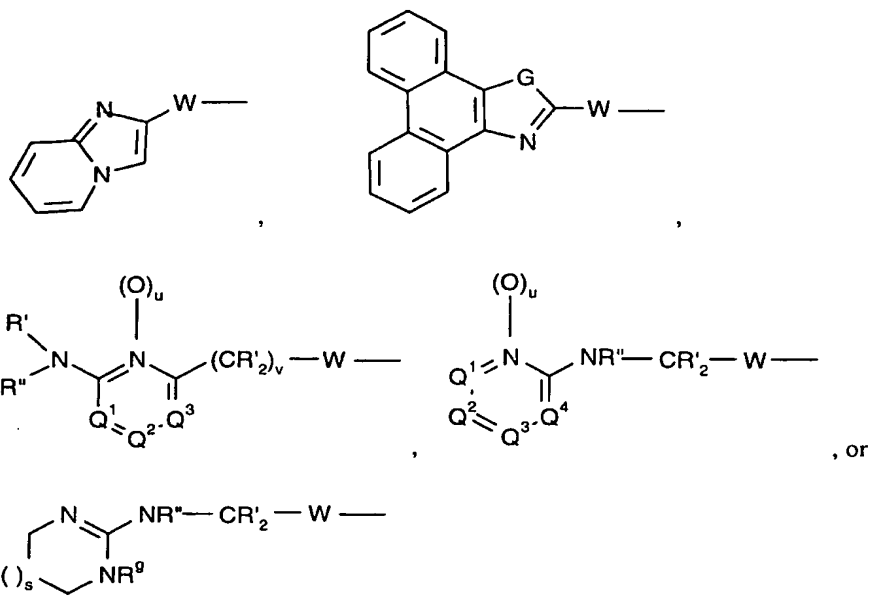
(I)

wherein:

- $R^1$  is  $R^7$ , or A-C<sub>0-4</sub>alkyl, A-C<sub>2-4</sub>alkenyl, A-C<sub>2-4</sub>alkynyl, A-C<sub>3-4</sub>oxoalkenyl, A-C<sub>3-4</sub>oxoalkynyl, A-C<sub>1-4</sub>aminoalkyl, A-C<sub>3-4</sub>aminoalkenyl, A-C<sub>3-4</sub>aminoalkynyl, optionally substituted by any accessible combination of one or more of  $R^{10}$  or  $R^7$ ;
- A is H, C<sub>3-6</sub>cycloalkyl, Het or Ar;
- $R^7$  is -COR<sup>8</sup>, -COCR'<sub>2</sub>R<sup>9</sup>, -C(S)R<sup>8</sup>, -S(O)<sub>m</sub>OR', -S(O)<sub>m</sub>NR'R'', -PO(OR'), -PO(OR')<sub>2</sub>, -NO<sub>2</sub>, or tetrazolyl;
- each  $R^8$  independently is -OR', -NR'R'', -NR'SO<sub>2</sub>R', -NR'OR', or -OCR'<sub>2</sub>CO(O)R';
- $R^9$  is -OR', -CN, -S(O)<sub>r</sub>R', -S(O)<sub>m</sub>NR'<sub>2</sub>, -C(O)R', C(O)NR'<sub>2</sub>, or -CO<sub>2</sub>R';
- $R^{10}$  is H, halo, -OR<sup>11</sup>, -CN, -NR'R<sup>11</sup>, -NO<sub>2</sub>, -CF<sub>3</sub>, CF<sub>3</sub>S(O)<sub>r</sub>, -CO<sub>2</sub>R', -CONR'<sub>2</sub>, A-C<sub>0-6</sub>alkyl-, A-C<sub>1-6</sub>oxoalkyl-, A-C<sub>2-6</sub>alkenyl-, A-C<sub>2-6</sub>alkynyl-, A-C<sub>0-6</sub>alkyloxy-, A-C<sub>0-6</sub>alkylamino- or A-C<sub>0-6</sub>alkyl-S(O)<sub>r</sub>;
- $R^{11}$  is R', -C(O)R', -C(O)NR'<sub>2</sub>, -C(O)OR', -S(O)<sub>m</sub>R', or -S(O)<sub>m</sub>NR'<sub>2</sub>;

$R^2$  is





5

W is  $-(\text{CHR}^g)_a\text{-U-}(\text{CHR}^g)_b\text{-}$ ;

U is absent or CO,  $\text{CR}^g_2$ ,  $\text{C(=CR}^g_2)$ ,  $\text{S(O)}_k$ , O,  $\text{NR}^g$ ,  $\text{CR}^g\text{OR}^g$ ,  $\text{CR}^g(\text{OR}^k)\text{CR}^g_2$ ,  $\text{CR}^g_2\text{CR}^g(\text{OR}^k)$ ,  $\text{C(O)CR}^g_2$ ,  $\text{CR}^g_2\text{C(O)}$ ,  $\text{CONR}^i$ ,  $\text{NR}^i\text{CO}$ ,  $\text{OC(O)}$ ,  $\text{C(O)O}$ ,  $\text{C(S)O}$ ,  $\text{OC(S)}$ ,  $\text{C(S)NR}^g$ ,  $\text{NR}^g\text{C(S)}$ ,  $\text{S(O)}_2\text{NR}^g$ ,  $\text{NR}^g\text{S(O)}_2$ ,  $\text{N=N}$ ,  $\text{NR}^g\text{NR}^g$ ,  $\text{NR}^g\text{CR}^g_2$ ,  $\text{CR}^g_2\text{NR}^g$ ,  $\text{CR}^g_2\text{O}$ ,  $\text{OCR}^g_2$ ,  $\text{C}\equiv\text{C}$  or  $\text{CR}^g=\text{CR}^g$ ;

G is  $\text{NR}^e$ , S or O;

$\text{R}^g$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ ,  $\text{C}_{3-7}\text{cycloalkyl-C}_{0-6}\text{alkyl}$  or  $\text{Ar-C}_{0-6}\text{alkyl}$ ;

$\text{R}^k$  is  $\text{R}^g$ ,  $-\text{C(O)R}^g$ , or  $-\text{C(O)OR}^f$ ;

15  $\text{R}^i$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ ,  $\text{C}_{3-7}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ , or  $\text{C}_{1-6}\text{alkyl}$  substituted by one to three groups chosen from halogen, CN,  $\text{NR}^g_2$ ,  $\text{OR}^g$ ,  $\text{SR}^g$ ,  $\text{CO}_2\text{R}^g$ , and  $\text{CON(R}^g)_2$ ;

$\text{R}^f$  is H,  $\text{C}_{1-6}\text{alkyl}$  or  $\text{Ar-C}_{0-6}\text{alkyl}$ ;

20  $\text{R}^e$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ ,  $\text{C}_{3-7}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ , or  $(\text{CH}_2)_k\text{CO}_2\text{R}^g$ ;

$\text{R}^b$  and  $\text{R}^c$  are independently selected from H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ , or  $\text{C}_{3-6}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ , halogen,  $\text{CF}_3$ ,  $\text{OR}^f$ ,  $\text{S(O)}_k\text{R}^f$ ,  $\text{COR}^f$ ,  $\text{NO}_2$ ,  $\text{N(R}^f)_2$ ,  $\text{CO(NR}^f)_2$ ,  $\text{CH}_2\text{N(R}^f)_2$ , or  $\text{R}^b$  and  $\text{R}^c$  are joined together to form a five or six membered aromatic or non-aromatic carbocyclic or heterocyclic ring, optionally substituted by up to three substituents chosen from halogen,  $\text{CF}_3$ ,  $\text{C}_{1-4}\text{alkyl}$ ,  $\text{OR}^f$ ,  $\text{S(O)}_k\text{R}^f$ ,  $\text{COR}^f$ ,  $\text{CO}_2\text{R}^f$ , OH,  $\text{NO}_2$ ,  $\text{N(R}^f)_2$ ,  $\text{CO(NR}^f)_2$ , and  $\text{CH}_2\text{N(R}^f)_2$ ; or methylenedioxy;

25

$Q^1$ ,  $Q^2$ ,  $Q^3$  and  $Q^4$  are independently N or C- $R^Y$ , provided that no more than one of  $Q^1$ ,  $Q^2$ ,  $Q^3$  and  $Q^4$  is N;

$R'$  is H, C<sub>1-6</sub>alkyl, Ar-C<sub>0-6</sub>alkyl or C<sub>3-6</sub>cycloalkyl-C<sub>0-6</sub>alkyl;

$R''$  is  $R'$ , -C(O) $R'$  or -C(O)OR';

5  $R'''$  is H, C<sub>1-6</sub>alkyl, Ar-C<sub>0-6</sub>alkyl, Het-C<sub>0-6</sub>alkyl, or C<sub>3-6</sub>cycloalkyl-C<sub>0-6</sub>alkyl, halogen, CF<sub>3</sub>, OR<sup>f</sup>, S(O)<sub>k</sub>R<sup>f</sup>, COR<sup>f</sup>, NO<sub>2</sub>, N(R<sup>f</sup>)<sub>2</sub>, CO(NR<sup>f</sup>)<sub>2</sub>, CH<sub>2</sub>N(R<sup>f</sup>)<sub>2</sub>;

$R^Y$  is H, halo, -OR<sup>g</sup>, -SR<sup>g</sup>, -CN, -NR<sup>g</sup>R<sup>k</sup>, -NO<sub>2</sub>, -CF<sub>3</sub>, CF<sub>3</sub>S(O)<sub>r</sub>-, -CO<sub>2</sub>R<sup>g</sup>, -COR<sup>g</sup> or -CONR<sup>g</sup><sub>2</sub>, or C<sub>1-6</sub>alkyl optionally substituted by halo, -OR<sup>g</sup>, -SR<sup>g</sup>, -CN, -NR<sup>g</sup>R'', -NO<sub>2</sub>, -CF<sub>3</sub>, R'S(O)<sub>r</sub>-, -CO<sub>2</sub>R<sup>g</sup>, -COR<sup>g</sup> or -CONR<sup>g</sup><sub>2</sub>;

10 a is 0, 1 or 2;

b is 0, 1 or 2;

k is 0, 1 or 2;

m is 1 or 2;

r is 0, 1 or 2;

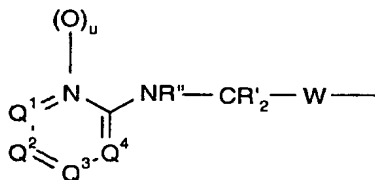
15 s is 0, 1 or 2;

u is 0 or 1; and

v is 0 or 1;

or a pharmaceutically acceptable salt thereof.

20 2. A compound according to claim 1 in which  $R^2$  is

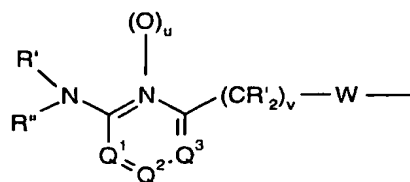


, wherein  $Q^1$ ,  $Q^2$ , and  $Q^3$  are each C- $R^Y$ ,  $Q^4$  is

C- $R^Y$  or N and u is 0.

25 3. A compound according to claim 2 in which each  $R'$  is H,  $R''$  is H, C<sub>1-6</sub>alkyl, -C(O)C<sub>1-6</sub>alkyl, C(O)OC<sub>1-6</sub>alkyl, -C(O)C<sub>0-6</sub>alkyl-Ar, or C(O)OC<sub>0-6</sub>alkyl-Ar, W is -CH<sub>2</sub>-CH<sub>2</sub>-, and  $R^Y$  is H, halo, -OR<sup>g</sup>, -SR<sup>g</sup>, -CN, -NR<sup>g</sup>R<sup>k</sup>, -NO<sub>2</sub>, -CF<sub>3</sub>, CF<sub>3</sub>S(O)<sub>r</sub>-, -CO<sub>2</sub>R<sup>g</sup>, -COR<sup>g</sup> -CONR<sup>g</sup><sub>2</sub>, or C<sub>1-6</sub>alkyl.

30 4. A compound according to claim 1 in which  $R^2$  is

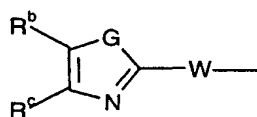


, wherein  $Q^1$ ,  $Q^2$ , and  $Q^3$  are each CH and  $u$  is

0.

5. A compound according to claim 4 in which each  $R^1$  is H,  $R''$  is H or  $C_{1-4}$ alkyl,  $v$  is 0 and  $W$  is  $-CH_2-CH_2-$ .

6. A compound according to claim 1 in which  $R^2$  is

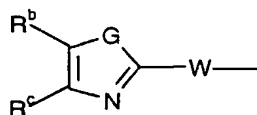


, wherein  $G$  is NH and  $R^b$  and  $R^c$  are each H.

10

7. A compound according to claim 6 in which  $W$  is  $-NR^g-(CHR^g)_b-$ .

8. A compound according to claim 1 in which  $R^2$  is



15

, wherein  $G$  is NH and  $R^b$  and  $R^c$  are joined together to form a five or six membered aromatic or non-aromatic carbocyclic or heterocyclic ring, optionally substituted by up to three substituents chosen from halogen,  $CF_3$ ,  $C_{1-4}$ alkyl,  $OR^f$ ,  $S(O)_kR^f$ ,  $COR^f$ ,  $CO_2R^f$ ,  $OH$ ,  $NO_2$ ,  $N(R^f)_2$ ,  $CO(NR^f)_2$ , and  $CH_2N(R^f)_2$ ; or methylenedioxy.

20

9. A compound according to claim 8 in which  $R^b$  and  $R^c$  are joined together to form a six membered aromatic carbocyclic ring.

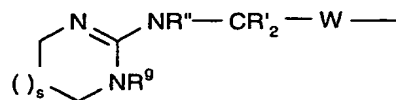
10. A compound according to claim 9 in which  $W$  is  $-CH_2-CH_2-$ .

25

11. A compound according to claim 8 in which  $R^b$  and  $R^c$  are joined together to form a six membered aromatic heterocyclic ring.

12. A compound according to claim 11 in which  $W$  is  $-CH_2-CH_2-$ .

13. A compound according to claim 1 in which R<sup>2</sup> is



, wherein each R' is H, R'' is H or C<sub>1-4</sub>alkyl,

- 5 R<sup>8</sup> is H or C<sub>1-4</sub>alkyl and s is 0, 1 or 2.

14. A compound according to claim 13 in which W is -CH<sub>2</sub>-CH<sub>2</sub>-.

15. A compound according to claim 1 in which R<sup>1</sup> is H, C<sub>1-6</sub>alkyl,  
10 Ar-C<sub>0-6</sub>alkyl, Het-C<sub>0-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl-C<sub>0-6</sub>alkyl, -CH<sub>2</sub>CF<sub>3</sub>, -(CH<sub>2</sub>)<sub>1-2</sub>C(O)OR', or  
-(CH<sub>2</sub>)<sub>2</sub>OR', in which R' is H or C<sub>1-4</sub>alkyl.

16. A compound according to claim 15 in which R<sup>1</sup> is H, C<sub>1-4</sub>alkyl,  
15 Ph-C<sub>0-4</sub>alkyl, -CH<sub>2</sub>CF<sub>3</sub>, -(CH<sub>2</sub>)<sub>1-2</sub>C(O)OR', or -(CH<sub>2</sub>)<sub>2</sub>OR', in which R' is H or C<sub>1-4</sub>alkyl.

17. A compound according to claim 16 in which R<sup>1</sup> is -CH<sub>2</sub>CF<sub>3</sub>.

18. A compound according to claim 1 which is:

- 20 (±)-8-[3-(2-pyridylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-  
benzazepine-4-acetic acid;  
(±)-8-[3-(4-amino-2-pyridylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-  
benzazepine-4-acetic acid;  
(±)-8-[3-(4-methoxy-2-pyridylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-  
2-benzazepine-4-acetic acid;  
25 (±)-8-[3-(2-pyridylamino)-1-propyloxy]-2-methyl-3-oxo-2,3,4,5-tetrahydro-1H-2-  
benzazepine-4-acetic acid;  
(±)-8-[3-(2-imidazolylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-  
benzazepine-4-acetic acid;  
(±)-8-[3-[2-(1,4,5,6-tetrahydropyrimidinyl)amino]-1-propyloxy]-3-oxo-2,3,4,5-  
30 tetrahydro-1H-2-benzazepine-4-acetic acid;  
(±)-8-[3-(6-amino-2-pyridylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-  
benzazepine-4-acetic acid;  
(±)-8-[2-(2-benzimidazolyl)ethoxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-  
acetic acid;

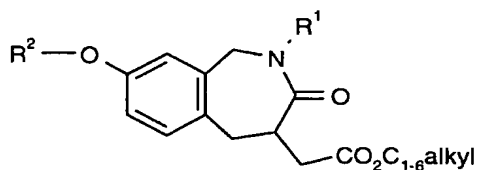
- (±)-8-[2-(4-aza-2-benzimidazolyl)ethoxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 5 (±)-8-[2-(benzimidazol-2-yl)-1-ethoxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-8-[3-(4-aminopyridin-2-ylamino)-1-propyloxy]-2-methyl-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-(pyrimidin-2-ylamino)-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 10 (R)-8-[3-(4-aminopyridin-2-ylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-[(1,4,5,6-tetrahydropyrimidin-2-yl)amino]-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 15 (S)-8-[3-(4-aminopyridin-2-ylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(*tert*-butoxycarbonyl)amino]-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-8-[3-[N-(1-oxopyridin-2-yl)-N-(*tert*-butoxycarbonyl)amino]-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 20 (±)-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(*tert*-butoxycarbonyl)amino]-1-propyloxy]-2-(4-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(4-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 25 (±)-2-methyl-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(methyl)amino]-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-2-benzyl-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-2-(carboxymethyl)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 30 (±)-2-(4-aminobenzyl)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(benzoyl)amino]-1-propyloxy]-2-(4-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 35 (±)-8-[3-(2-imidazolin-2-ylamino)-1-propyloxy]-2-methyl-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;

- (±)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-8-[2-(2-aminothiazol-4-yl)-1-ethoxy]-2-methyl-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 5 (±)-8-[3-(4,6-dimethylpyridin-2-ylamino)-1-propyloxy]-2-methyl-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-8-[3-(4,5,6,7-tetrahydro-1H-1,3-diazepin-2-ylamino)-1-propyloxy]-2-methyl-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(*tert*-butylacetyl)amino]-1-propyloxy]-2-(4-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 10 (±)-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(isobutoxycarbonyl)amino]-1-propyloxy]-2-(4-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (S)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(4-trifluoromethylbenzyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 15 (±)-3-oxo-8-[3-(4-methylpyridin-2-ylamino)-1-propyloxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (±)-3-oxo-8-[3-[N-(pyridin-2-yl)-N-(methyl)amino]-1-propyloxy]-2-[4-(trifluoromethyl)benzyl]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (S)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 20 (R)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (S)-8-[3-(4-methylpyridin-2-ylamino)-1-propyloxy]-3-oxo-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 25 (S)-3-oxo-8-[3-(1,4,5,6-tetrahydropyrimid-2-ylamino)-1-propyloxy]-2-[4-(trifluoromethyl)benzyl]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (S)-3-oxo-2-(2-phenylethyl)-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- (S)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-(2-phenylethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 30 (S)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid; or
- (S)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-[4-(trifluoromethyl)benzyl]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid;
- 35 or a pharmaceutically acceptable salt thereof.

19. A compound according to claim 1 which is (S)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid or a pharmaceutically acceptable salt thereof.
- 5 20. A compound according to claim 1 which is (S)-8-[2-[6-(methylamino)pyridin-2-yl]-1-ethoxy]-3-oxo-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid or a pharmaceutically acceptable salt thereof.
- 10 21. A compound according to claim 1 which is (S)-8-[3-(4-methylpyridin-2-ylamino)-1-propyloxy]-3-oxo-2-(2,2,2-trifluoroethyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetic acid or a pharmaceutically acceptable salt thereof.
- 15 22. A pharmaceutical composition which comprises a compound according to claim 1 and a pharmaceutically acceptable carrier.
23. A pharmaceutical composition which comprises a compound according to claim 1, an antineoplastic agent and a pharmaceutically acceptable carrier.
- 20 24. The pharmaceutical composition according to claim 23 wherein the antineoplastic agent is topotecan.
- 25 25. The pharmaceutical composition according to claim 23 wherein the antineoplastic agent is cisplatin.
26. A pharmaceutical composition which comprises a compound according to claim 1, an inhibitor of bone resorption and a pharmaceutically acceptable carrier.
27. A method of treating a disease state in which antagonism of the  $\alpha_v\beta_3$  receptor is indicated which comprises administering to a subject in need thereof a compound according to claim 1.
- 30 28. A method of treating a disease state in which antagonism of the  $\alpha_v\beta_5$  receptor is indicated which comprises administering to a subject in need thereof a compound according to claim 1.
- 35 29. A method of treating osteoporosis which comprises administering to a subject in need thereof a compound according to claim 1.



30. A method for inhibiting angiogenesis which comprises administering to a subject in need thereof a compound according to claim 1.
- 5 31. A method for inhibiting tumor growth or tumor metastasis which comprises administering to a subject in need thereof a compound according to claim 1.
32. A method of treating atherosclerosis or restenosis which comprises administering to a subject in need thereof a compound according to claim 1.
- 10 33. A method of treating inflammation which comprises administering to a subject in need thereof a compound according to claim 1.
34. A method of inhibiting tumor growth which comprises administering stepwise or in physical combination a compound according to claim 1 and an antineoplastic agent.
- 15 35. The method according to claim 34 wherein the antineoplastic agent is topotecan.
- 20 36. The method according to claim 34 wherein the antineoplastic agent is cisplatin.
37. A method of treating osteoporosis or inhibiting bone loss which comprises administering stepwise or in physical combination a compound according to claim 1 and an inhibitor of bone resorption.
- 25 38. A compound according to formula (II):



(II)

wherein:

$R^1$  is  $R^7$ , or A-C<sub>0-4</sub>alkyl, A-C<sub>2-4</sub>alkenyl, A-C<sub>2-4</sub>alkynyl, A-C<sub>3-4</sub>oxoalkenyl, A-C<sub>3-4</sub>oxoalkynyl, A-C<sub>1-4</sub>aminoalkyl, A-C<sub>3-4</sub>aminoalkenyl, A-C<sub>3-4</sub>aminoalkynyl, optionally substituted by any accessible combination of one or more of  $R^{10}$  or  $R^7$ ;

A is H, C<sub>3-6</sub>cycloalkyl, Het or Ar;

- 5  $R^7$  is -COR<sup>8</sup>, -COCR'<sub>2</sub>R<sup>9</sup>, -C(S)R<sup>8</sup>, -S(O)<sub>m</sub>OR', -S(O)<sub>m</sub>NR'R'', -PO(OR'), -PO(OR')<sub>2</sub>, -NO<sub>2</sub>, or tetrazolyl;

each  $R^8$  independently is -OR', -NR'R'', -NR'SO<sub>2</sub>R', -NR'OR', or -OCR'<sub>2</sub>CO(O)R';

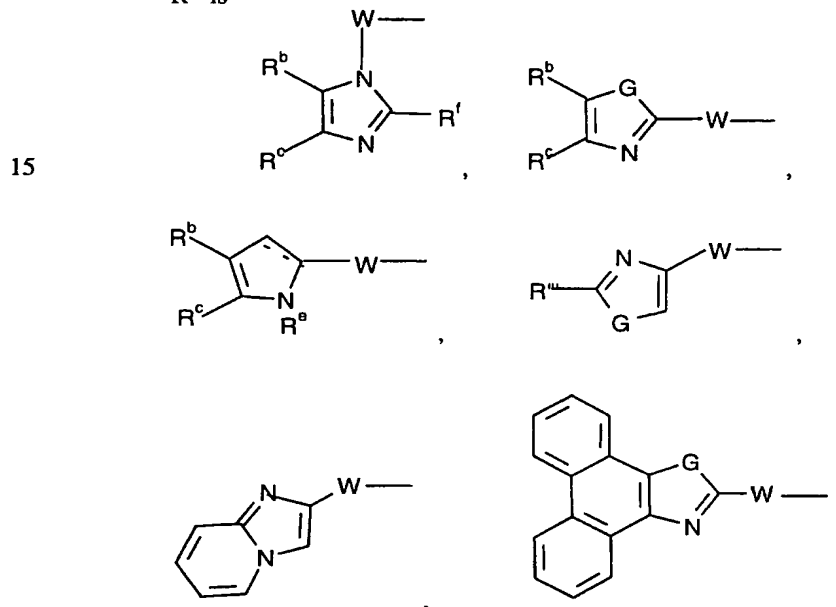
$R^9$  is -OR', -CN, -S(O)<sub>r</sub>R', -S(O)<sub>m</sub>NR'<sub>2</sub>, -C(O)R', C(O)NR'<sub>2</sub>, or -CO<sub>2</sub>R';

$R^{10}$  is H, halo, -OR<sup>11</sup>, -CN, -NR'R<sup>11</sup>, -NO<sub>2</sub>, -CF<sub>3</sub>, CF<sub>3</sub>S(O)<sub>r</sub>, -CO<sub>2</sub>R', -CONR'<sub>2</sub>,

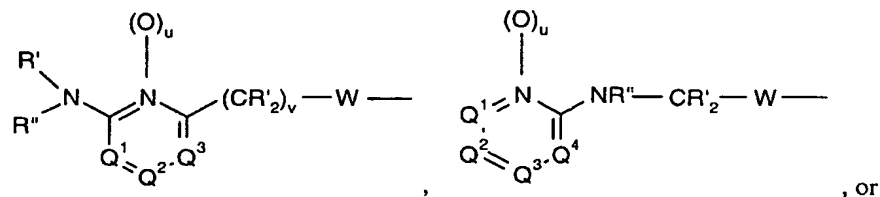
- 10 A-C<sub>0-6</sub>alkyl-, A-C<sub>1-6</sub>oxoalkyl-, A-C<sub>2-6</sub>alkenyl-, A-C<sub>2-6</sub>alkynyl-, A-C<sub>0-6</sub>alkyloxy-, A-C<sub>0-6</sub>alkylamino- or A-C<sub>0-6</sub>alkyl-S(O)<sub>r</sub>;

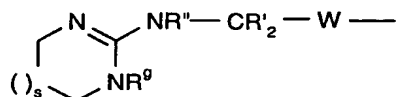
$R^{11}$  is R', -C(O)R', -C(O)NR'<sub>2</sub>, -C(O)OR', -S(O)<sub>m</sub>R', or -S(O)<sub>m</sub>NR'<sub>2</sub>;

$R^2$  is



20





W is  $-(\text{CHR}^g)_a\text{-U-}(\text{CHR}^g)_b\text{-}$ ;

U is absent or CO,  $\text{CR}^g_2$ ,  $\text{C(=CR}^g_2)$ ,  $\text{S(O)}_k$ , O,  $\text{NR}^g$ ,  $\text{CR}^g\text{OR}^g$ ,  $\text{CR}^g(\text{OR}^k)\text{CR}^g_2$ ,  $\text{CR}^g_2\text{CR}^g(\text{OR}^k)$ ,  $\text{C(O)CR}^g_2$ ,  $\text{CR}^g_2\text{C(O)}$ ,  $\text{CONR}^i$ ,  $\text{NR}^i\text{CO}$ ,  $\text{OC(O)}$ ,  $\text{C(O)O}$ ,  $\text{C(S)O}$ ,  $\text{OC(S)}$ ,  $\text{C(S)NR}^g$ ,  $\text{NR}^g\text{C(S)}$ ,  $\text{S(O)}_2\text{NR}^g$ ,  $\text{NR}^g\text{S(O)}_2$ ,  $\text{N=N}$ ,  $\text{NR}^g\text{NR}^g$ ,  $\text{NR}^g\text{CR}^g_2$ ,  $\text{CR}^g_2\text{NR}^g$ ,  $\text{CR}^g_2\text{O}$ ,  $\text{OCR}^g_2$ ,  $\text{C}\equiv\text{C}$  or  $\text{CR}^g=\text{CR}^g$ ;

G is  $\text{NR}^e$ , S or O;

$\text{R}^g$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ ,  $\text{C}_{3-7}\text{cycloalkyl-C}_{0-6}\text{alkyl}$  or  $\text{Ar-C}_{0-6}\text{alkyl}$ ;

$\text{R}^k$  is  $\text{R}^g$ ,  $-\text{C(O)R}^g$ , or  $-\text{C(O)OR}^f$ ;

$\text{R}^i$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ ,  $\text{C}_{3-7}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ , or  $\text{C}_{1-6}\text{alkyl}$  substituted by one to three groups chosen from halogen, CN,  $\text{NR}^g_2$ ,  $\text{OR}^g$ ,  $\text{SR}^g$ ,  $\text{CO}_2\text{R}^g$ , and  $\text{CON(R}^g)_2$ ;

$\text{R}^f$  is H,  $\text{C}_{1-6}\text{alkyl}$  or  $\text{Ar-C}_{0-6}\text{alkyl}$ ;

$\text{R}^e$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ ,  $\text{C}_{3-7}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ , or  $(\text{CH}_2)_k\text{CO}_2\text{R}^g$ ;

$\text{R}^b$  and  $\text{R}^c$  are independently selected from H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ , or  $\text{C}_{3-6}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ , halogen,  $\text{CF}_3$ ,  $\text{OR}^f$ ,  $\text{S(O)}_k\text{R}^f$ ,  $\text{COR}^f$ ,  $\text{NO}_2$ ,  $\text{N(R}^f)_2$ ,  $\text{CO(NR}^f)_2$ ,  $\text{CH}_2\text{N(R}^f)_2$ , or  $\text{R}^b$  and  $\text{R}^c$  are joined together to form a five or six membered aromatic or non-aromatic carbocyclic or heterocyclic ring, optionally substituted by up to three substituents chosen from halogen,  $\text{CF}_3$ ,  $\text{C}_{1-4}\text{alkyl}$ ,  $\text{OR}^f$ ,  $\text{S(O)}_k\text{R}^f$ ,  $\text{COR}^f$ ,  $\text{CO}_2\text{R}^f$ , OH,  $\text{NO}_2$ ,  $\text{N(R}^f)_2$ ,  $\text{CO(NR}^f)_2$ , and  $\text{CH}_2\text{N(R}^f)_2$ ; or methylenedioxy;

$\text{Q}^1$ ,  $\text{Q}^2$ ,  $\text{Q}^3$  and  $\text{Q}^4$  are independently N or  $\text{C-R}^y$ , provided that no more than one of  $\text{Q}^1$ ,  $\text{Q}^2$ ,  $\text{Q}^3$  and  $\text{Q}^4$  is N;

$\text{R}^1$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$  or  $\text{C}_{3-6}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ ;

$\text{R}''$  is  $\text{R}^1$ ,  $-\text{C(O)R}^1$  or  $-\text{C(O)OR}^1$ ;

$\text{R}'''$  is H,  $\text{C}_{1-6}\text{alkyl}$ ,  $\text{Ar-C}_{0-6}\text{alkyl}$ ,  $\text{Het-C}_{0-6}\text{alkyl}$ , or  $\text{C}_{3-6}\text{cycloalkyl-C}_{0-6}\text{alkyl}$ , halogen,  $\text{CF}_3$ ,  $\text{OR}^f$ ,  $\text{S(O)}_k\text{R}^f$ ,  $\text{COR}^f$ ,  $\text{NO}_2$ ,  $\text{N(R}^f)_2$ ,  $\text{CO(NR}^f)_2$ ,  $\text{CH}_2\text{N(R}^f)_2$ ;

$\text{R}^y$  is H, halo,  $-\text{OR}^g$ ,  $-\text{SR}^g$ ,  $-\text{CN}$ ,  $-\text{NR}^g\text{R}^k$ ,  $-\text{NO}_2$ ,  $-\text{CF}_3$ ,  $\text{CF}_3\text{S(O)}_r$ ,  $-\text{CO}_2\text{R}^g$ ,  $-\text{COR}^g$  or  $-\text{CONR}^g_2$ , or  $\text{C}_{1-6}\text{alkyl}$  optionally substituted by halo,  $-\text{OR}^g$ ,  $-\text{SR}^g$ ,  $-\text{CN}$ ,  $-\text{NR}^g\text{R}''$ ,  $-\text{NO}_2$ ,  $-\text{CF}_3$ ,  $\text{R}^1\text{S(O)}_r$ ,  $-\text{CO}_2\text{R}^g$ ,  $-\text{COR}^g$  or  $-\text{CONR}^g_2$ ;

a is 0, 1 or 2;

b is 0, 1 or 2;

k is 0, 1 or 2;

m is 1 or 2;

r is 0, 1 or 2;

s is 0, 1 or 2;

u is 0 or 1; and

v is 0 or 1;

5 or a pharmaceutically acceptable salt thereof.

39. A compound according to claim 38 which is:

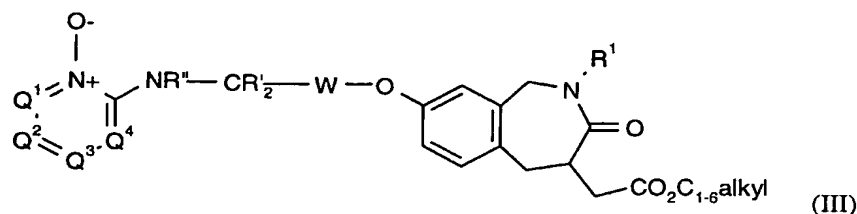
methyl (±)-3-oxo-8-[3-(pyridin-2-ylamino)-1-propyloxy]-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetate; or

10 ethyl (±)-8-[3-(4-aminopyridin-2-ylamino)-1-propyloxy]-3-oxo-2,3,4,5-tetrahydro-1H-2-benzazepine-4-acetate;

or a pharmaceutically acceptable salt thereof.

40. A compound according to formula (III):

15



R<sup>1</sup> is R<sup>7</sup>, or A-C<sub>0-4</sub>alkyl, A-C<sub>2-4</sub>alkenyl, A-C<sub>2-4</sub>alkynyl, A-C<sub>3-4</sub>oxoalkenyl, A-C<sub>3-4</sub>oxoalkynyl, A-C<sub>1-4</sub>aminoalkyl, A-C<sub>3-4</sub>aminoalkenyl, A-C<sub>3-4</sub>aminoalkynyl, optionally substituted by any accessible combination of one or more of R<sup>10</sup> or R<sup>7</sup>;

20 A is H, C<sub>3-6</sub>cycloalkyl, Het or Ar;

R<sup>7</sup> is -COR<sup>8</sup>, -COCR<sup>2</sup>R<sup>9</sup>, -C(S)R<sup>8</sup>, -S(O)<sub>m</sub>OR', -S(O)<sub>m</sub>NR'R'', -PO(OR'), -PO(OR')<sub>2</sub>, -NO<sub>2</sub>, or tetrazolyl;

each R<sup>8</sup> independently is -OR', -NR'R'', -NR'SO<sub>2</sub>R', -NR'OR', or -OCR<sup>2</sup>CO(O)R';

25 R<sup>9</sup> is -OR', -CN, -S(O)<sub>r</sub>R', -S(O)<sub>m</sub>NR'<sub>2</sub>, -C(O)R', C(O)NR'<sub>2</sub>, or -CO<sub>2</sub>R';

R<sup>10</sup> is H, halo, -OR<sup>11</sup>, -CN, -NR'R<sup>11</sup>, -NO<sub>2</sub>, -CF<sub>3</sub>, CF<sub>3</sub>S(O)<sub>r</sub>-, -CO<sub>2</sub>R', -CONR'<sub>2</sub>, A-C<sub>0-6</sub>alkyl-, A-C<sub>1-6</sub>oxoalkyl-, A-C<sub>2-6</sub>alkenyl-, A-C<sub>2-6</sub>alkynyl-, A-C<sub>0-6</sub>alkyloxy-, A-C<sub>0-6</sub>alkylamino- or A-C<sub>0-6</sub>alkyl-S(O)<sub>r</sub>-;

R<sup>11</sup> is R', -C(O)R', -C(O)NR'<sub>2</sub>, -C(O)OR', -S(O)<sub>m</sub>R', or -S(O)<sub>m</sub>NR'<sub>2</sub>;

30 W is -(CHR<sup>g</sup>)<sub>a</sub>-U- (CHR<sup>g</sup>)<sub>b</sub>-;

U is absent or CO, CR<sup>g</sup><sub>2</sub>, C(=CR<sup>g</sup><sub>2</sub>), S(O)<sub>k</sub>, O, NR<sup>g</sup>, CR<sup>g</sup>OR<sup>g</sup>, CR<sup>g</sup>(OR<sup>k</sup>)CR<sup>g</sup><sub>2</sub>, CR<sup>g</sup><sub>2</sub>CR<sup>g</sup>(OR<sup>k</sup>), C(O)CR<sup>g</sup><sub>2</sub>, CR<sup>g</sup><sub>2</sub>C(O), CONR<sup>i</sup>, NR<sup>i</sup>CO, OC(O), C(O)O, C(S)O, OC(S),

$C(S)NR^g$ ,  $NR^gC(S)$ ,  $S(O)_2NR^g$ ,  $NR^gS(O)_2$ ,  $N=N$ ,  $NR^gNR^g$ ,  $NR^gCR^g_2$ ,  $CR^g_2NR^g$ ,  $CR^g_2O$ ,  $OCR^g_2$ ,  $C\equiv C$  or  $CR^g=CR^g$ ;

$R^g$  is H,  $C_{1-6}$ alkyl, Het- $C_{0-6}$ alkyl,  $C_{3-7}$ cycloalkyl- $C_{0-6}$ alkyl or Ar- $C_{0-6}$ alkyl;

$R^k$  is  $R^g$ ,  $-C(O)R^g$ , or  $-C(O)OR^f$ ;

- 5  $R^i$  is H,  $C_{1-6}$ alkyl, Het- $C_{0-6}$ alkyl,  $C_{3-7}$ cycloalkyl- $C_{0-6}$ alkyl, Ar- $C_{0-6}$ alkyl, or  $C_{1-6}$ alkyl substituted by one to three groups chosen from halogen, CN,  $NR^g_2$ ,  $OR^g$ ,  $SR^g$ ,  $CO_2R^g$ , and  $CON(R^g)_2$ ;

$R^f$  is H,  $C_{1-6}$ alkyl or Ar- $C_{0-6}$ alkyl;

$Q^1$ ,  $Q^2$ ,  $Q^3$  and  $Q^4$  are independently N or C- $R^y$ , provided that no more than one of

- 10  $Q^1$ ,  $Q^2$ ,  $Q^3$  and  $Q^4$  is N;

$R'$  is H,  $C_{1-6}$ alkyl, Ar- $C_{0-6}$ alkyl or  $C_{3-6}$ cycloalkyl- $C_{0-6}$ alkyl;

$R''$  is  $R'$ ,  $-C(O)R'$  or  $-C(O)OR'$ ;

$R^y$  is H, halo,  $-OR^g$ ,  $-SR^g$ ,  $-CN$ ,  $-NR^gR^k$ ,  $-NO_2$ ,  $-CF_3$ ,  $CF_3S(O)_r$ ,  $-CO_2R^g$ ,  $-COR^g$  or  $-CONR^g_2$ , or  $C_{1-6}$ alkyl optionally substituted by halo,  $-OR^g$ ,  $-SR^g$ ,  $-CN$ ,  $-NR^gR''$ ,  $-NO_2$ ,

- 15  $-CF_3$ ,  $R'S(O)_r$ ,  $-CO_2R^g$ ,  $-COR^g$  or  $-CONR^g_2$ ;

$a$  is 0, 1 or 2;

$b$  is 0, 1 or 2;

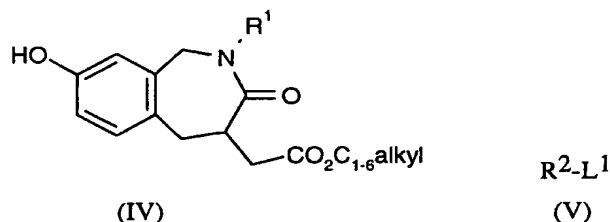
$m$  is 1 or 2; and

$r$  is 0, 1 or 2;

- 20 or a pharmaceutically acceptable salt thereof.

41. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises reacting a compound of formula (IV) with a compound of formula (V):

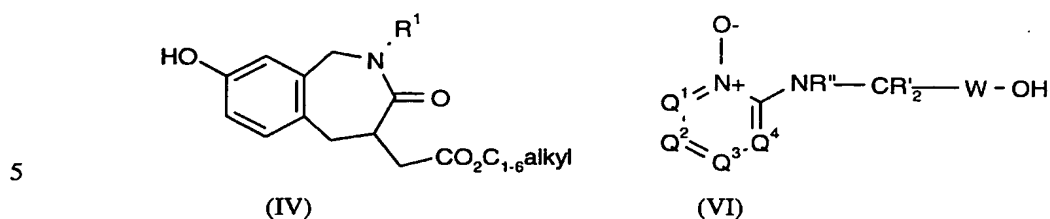
25



wherein  $R^1$  and  $R^2$  are as defined in formula (I), with any reactive functional groups protected, and  $L^1$  is OH or halo;

- 30 and thereafter removing any protecting groups, and optionally forming a pharmaceutically acceptable salt.

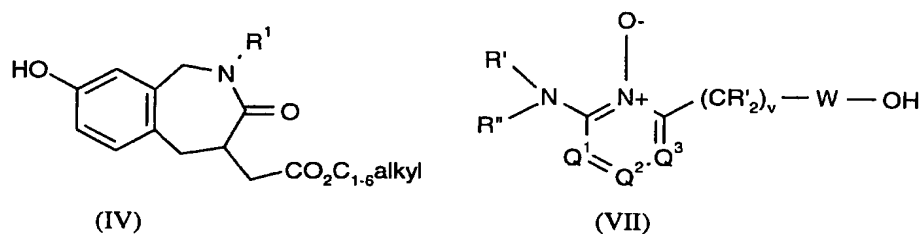
42. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises reacting a compound of formula (IV) with a compound of formula (VI):



wherein R¹, R', R'', W, Q¹, Q², Q³ and Q⁴ are as defined in formula (I), with any reactive functional groups protected;

10 and thereafter removing any protecting groups, and optionally forming a pharmaceutically acceptable salt.

43. A process for preparing a compound of the formula (I) as defined in claim 1, which process comprises reacting a compound of formula (IV) with a compound of formula (VII):



20 wherein R¹, R', R'', W, Q¹, Q², Q³ and v are as defined in formula (I), with any reactive functional groups protected;

and thereafter removing any protecting groups, and optionally forming a pharmaceutically acceptable salt.

25 44. A compound according to any one of claims 1 to 21 for use as a medicament.

45. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases in which antagonism of the α<sub>v</sub>β<sub>3</sub> receptor is indicated.

30

46. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of diseases in which antagonism of the  $\alpha_v\beta_5$  receptor is indicated.
- 5 47. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of osteoporosis.
48. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the inhibition of angiogenesis.
- 10 49. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the inhibition of tumor growth or tumor metastasis.
- 15 50. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of atherosclerosis or restenosis.
51. The use of a compound of the formula (I) as defined in claim 1 in the manufacture of a medicament for the treatment of inflammation.
- 20 52. The use of a compound of the formula (I) as defined in claim 1 and an antineoplastic agent in the manufacture of a medicament for the inhibition of tumor growth in physical combination or for stepwise administration.
- 25 53. The use according to claim 52 wherein the antineoplastic agent is topotecan.
54. The use according to claim 52 wherein the antineoplastic agent is cisplatin.
- 30 55. The use of a compound of the formula (I) as defined in claim 1 and an inhibitor of bone resorption in the manufacture of a medicament for the treatment of osteoporosis in physical combination or for stepwise administration.